

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)



REC'D 23 AUG 2005

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| Applicant's or agent's file reference PC04005-LG | FOR FURTHER ACTION | | See Form PCT/IPEA/416 |
| International application No. PCT/KR2004/001370 | International filing date(day/month/year) 09 JUNE 2004 (09.06.2004) | Priority date (day/month/year) 13 JUNE 2003 (13.06.2003) | |
| International Patent Classification (IPC) or national classification and IPC IPC7 C07D 239/10 | | | |
| Applicant LG LIFE SCIENCES LTD. et al | | | |

- This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
- This REPORT consists of a total of 4 sheets, including this cover sheet.
- This report is also accompanied by ANNEXES, comprising:
 - ☐ (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:
 - ☐ sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
 - ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
 - ☐ (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____ containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box relating to Sequence Listing (see Section 802 of the Administrative Instructions).
- This report contains indications relating to the following items:
 - ☒ Box No. I Basis of the report
 - ☐ Box No. II Priority
 - ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
 - ☐ Box No. IV Lack of unity of invention
 - ☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
 - ☐ Box No. VI Certain documents cited
 - ☐ Box No. VII Certain defects in the international application
 - ☐ Box No. VIII Certain observations on the international application

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| Date of submission of the demand 05 JANUARY 2005 (05.01.2005) | Date of completion of this report 04 AUGUST 2005 (04.08.2005) |
| Name and mailing address of the IPEA/KR  Korean Intellectual Property Office 920 Dunsan-dong, Seo-gu, Daejeon 302-701, Republic of Korea Facsimile No. 82-42-472-7140 | Authorized officer CHANG, Jin Ah  Telephone No. 82-42-481-5602 |

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/KR2004/001370

Box No. 1 Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

- ☐ This report is based on translations from the original language into the following language _____ which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
 - ☐ publication of the international application (under Rule 12.4)
 - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on (replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report):

- ☒ the international application as originally filed/furnished
- ☐ the description:
- pages _____ as originally filed/furnished
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☐ the claims:
- pages _____ as originally filed/furnished
- pages* _____ as amended (together with any statement) under Article 19
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☐ the drawings:
- pages _____ as originally filed/furnished
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☐ the sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets _____
- ☐ the sequence listing (specify): _____
- ☐ any table(s) related to sequence listing (specify): _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets _____
- ☐ the sequence listing (specify): _____
- ☐ any table(s) related to sequence listing (specify): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/KR2004/001370

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

| | | | |
|-------------------------------|--------|----------|-----|
| Novelty (N) | Claims | 1-10, 12 | YES |
| | Claims | | NO |
| Inventive step (IS) | Claims | 1-10, 12 | YES |
| | Claims | | NO |
| Industrial applicability (IA) | Claims | 1-10, 12 | YES |
| | Claims | | NO |

2. Citations and explanations (Rule 70.7)

The present invention of the claims 1-10 and 12 relates to a compound of the formula (1), a process for the preparation thereof, a pharmaceutical composition containing the same as an active ingredient and its use for treatment or prevention of hepatitis C.

1. Prior Art

The following document identified in the International Search Report have been considered for the purpose of this report:

D1= KR 2001-61856 A (07. JULY 2001)

D2= Tetrahedron Letters, 2000, Vol. 41, pp.9075-9078

D1 relates to 5-pyrimidine carboxamide derivatives and a pharmaceutical composition which are useful in the inhibition of the growth of hepatitis B virus and treatment of AIDS virus.

D2 relates to one-pot compounding method of 3,4-dihydropyrimidine-2(1H)-one which is used as a calcium channel blocker and an antihypertensive agent.

2. Novelty

The subject matter of claims 1-10 and 12 is the same as that of D1 in its purpose of providing a pharmaceutical composition for treatment of hepatitis. However, the structure of the compound of the present invention is different from that of D1 in that the compound of the present invention has carboxyl acid at 5 position of pyrimidine's main structure and the alkyl group or the alkoxycarbonyl group possibly substituted by halogen at 6 position, whereas the compound of D1 has the carboxamide group at 5 position and the amino group at 6 position. And they are also different in the method of manufacturing each compound.

(See Supplemental Box)

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Supplemental Box

In case the space in any of the preceding boxes is not sufficient.
Continuation of:

Box.V

In addition, the present invention is different from D2 in its purpose, the method of manufacturing, and its chemical structure since the substituent at 4 position of pyrimidine's main structure of the present invention is different from the phenyl group at 4 position of D2.

Therefore, the subject-matter of claims 1-10 and 12 in the present invention which concerns the 1,2,3,4-tetrahydropyrimidine derivatives of formula (I) is considered to be novel over the above citations [PCT Article 33(2)].

3. Inventive step

The present invention's object is obviously to provide a compound having superior antiviral activity against

hepatitis C and is fulfilled (see page 120-136 IC50 value in Table 2).

Accordingly claims 1-10 and 12 in the present invention, which concern the 1,2,3,4-tetrahydropyrimidine derivatives of formula (I) are considered to satisfy the requirements for the inventive step [PCT Article 33(3)].

4. Industrial applicability

The subject matter of claims 1-10 and 12 meets the requirement of PCT Article 33(4).